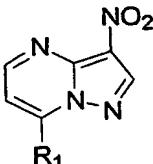


CLAIMS

1) - A compound of formula (I):

5



(I)

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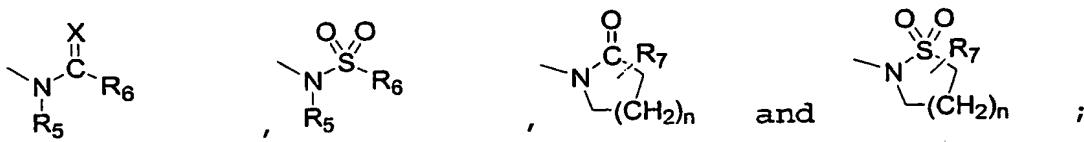
wherein

R_1 is selected from the group consisting of phenyl, pyridyl, pyrimidinyl, triazinyl, N-oxide-pyridyl, thienyl, furyl, thiazolyl and oxazolyl, each R_1 being optionally substituted with an R_2 group;

15

R_2 is selected from the group consisting of alkyl(C_1-C_6), cycloalkyl(C_3-C_6), alkenyl(C_2-C_6), alkynyl(C_2-C_6), alkoxy(C_1-C_6), CF_3 , CN , SO_2-R_3 , NO_2 , $NH-R_3$, NR_3R_4 , COR_5 , $CO-NHR_5$, $COOR_5$,

20



25

R_3 and R_4 are independently selected from the group consisting of alkyl(C_1-C_6), cycloalkyl(C_3-C_6), aryl and heteroaryl;

R_5 is selected from the group consisting of hydrogen, alkyl(C_1-C_6), alkenyl(C_2-C_6), alkynyl(C_2-C_6) and cycloalkyl(C_3-C_6);

30

R_6 is selected from the group consisting of alkyl(C_1-C_6), cycloalkyl(C_3-C_6), alkoxy(C_1-C_6), NH-alkyl(C_1-C_6), $N(dialkyl(C_1-C_6))$, alkyl(C_1-C_6)-O-alkyl(C_1-C_6), alkyl(C_1-C_6)-NH-alkyl(C_1-C_6), alkyl(C_1-C_6)-N($dialkyl(C_1-C_6)$),

phenyl, monosubstituted phenyl, furyl, thienyl,
thiazolyl and pyridyl;

5 R₇ is selected from the group consisting of hydrogen, alkyl(C₁-C₆), cycloalkyl(C₃-C₆), aryl and substituted or unsubstituted heteroaryl;

R₈ is selected from the group consisting of hydrogen, alkyl(C₁-C₆), CF₃, CN, CO-R₉ and SO₂-R₉;

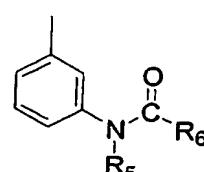
10 R₉ is selected from the group consisting of hydrogen, alkyl(C₁-C₆), phenyl, substituted phenyl and substituted or unsubstituted heteroaryl;

X is O, S or NR₈; and

n is an integer 1, 2 or 3;

and their pharmaceutically acceptable salts.

15 2) - A compound according to claim 1, wherein R₁ is

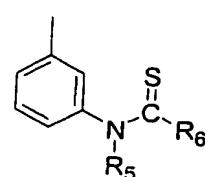


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and wherein R₅ and R₆ are as described for formula (I).

25 3) - A compound according to claim 2, wherein R₅ is selected from the group consisting of methyl, ethyl, n-propyl, i-propyl, n-butyl, cyclopropyl and 2-propynyl; and R₆ is selected from the group consisting of methyl, ethyl, n-propyl, n-butyl, phenyl and 4-methoxy-phenyl.

30 4) - A compound according to claim 1, wherein R₁ is



and wherein R₅ and R₆ are as described for formula (I).

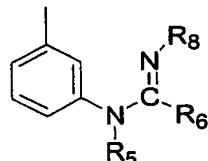
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5) - A compound according to claim 4, wherein R₅ is selected from the group consisting of methyl, ethyl, n-propyl, i-propyl, n-butyl, cyclopropyl and 2-propynyl; and R₆ is selected from the group consisting of methyl, ethyl, n-propyl, n-butyl, phenyl and 4-methoxy-phenyl

10

6) - A compound according to claim 1, wherein R₁ is

15



and wherein R₅, R₆ and R₈ are as defined for formula (I).

20

7) - A compound according to claim 6, wherein R₅ is selected from the group consisting of methyl, ethyl, n-propyl, i-propyl, n-butyl, cyclopropyl and 2-propynyl; R₆ is selected from the group consisting of methyl, ethyl, n-propyl, n-butyl, phenyl and 4-methoxy-phenyl; and R₈ is selected from the group consisting of hydrogen, methyl and CN.

25

8) - A compound according to claim 1, wherein R₁ is

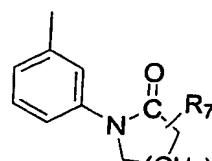
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and wherein R₅ and R₆ are as defined for formula (I).

5 9) - A compound according to claim 8, wherein R₅ is selected from the group consisting of methyl, ethyl, n-propyl, i-propyl, n-butyl, cyclopropyl and 2-propynyl; and R₆ is selected from the group consisting of methyl, ethyl, n-propyl, i-propyl, n-butyl, phenyl and 4-methoxy-phenyl.

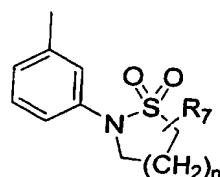
10 10) - A compound according to claim 1, wherein R₁ is



15 and wherein n and R₇ are as defined for formula (I).

11) - A compound according to claim 10, wherein n is 1 and R₇ is hydrogen.

20 12) - A compound according to claim 1, wherein R₁ is



25

and wherein n and R₇ are as defined for formula (I).

13) - A compound according to claim 12, wherein n is 1 and R₇ is hydrogen.

30

14) - A compound according to claim 1, wherein R₁ is selected from the group consisting of phenyl, 2-trifluoromethylphenyl, 3-trifluoromethylphenyl, 4-

trifluoromethylphenyl, furan-2-yl, thiophen-2-yl,
pyridin-2-yl, pyridin-3-yl and pyridin-4-yl.

15) - A compound according to claims 2 and 3,
5 wherein said compound is selected from the group
consisting of:

N-ethyl-N-[3-(3-nitro-pyrazolo[1,5-a]pyrimidin-7-yl)-
phenyl]-acetamide;
N-methyl-N-[3-(3-nitro-pyrazolo[1,5-a]pyrimidin-7-yl)-
10 phenyl]-acetamide;
N-[3-(3-nitro-pyrazolo[1,5-a]pyrimidin-7-yl)-phenyl]-N-
(n-propyl)-acetamide;
N-(n-butyl)-N-[3-(3-nitro-pyrazolo[1,5-a]pyrimidin-7-
15 yl)-phenyl]-acetamide; and
N-[3-(3-nitro-pyrazolo[1,5-a]pyrimidin-7-yl)-phenyl]-N-
(2-propinyl)-acetamide.

16) - A compound according to claims 8 and 9,
wherein said compound is selected from the group
20 consisting of:

N-ethyl-N-[3-(3-nitro-pyrazolo[1,5-a]pyrimidin-7-yl)-
phenyl]-methanesulfonamide;
N-ethyl-N-[3-(3-nitro-pyrazolo[1,5-a]pyrimidin-7-yl)-
phenyl]-4-methoxy-benzenesulfonamide;
25 N-ethyl-N-[3-(3-nitro-pyrazolo[1,5-a]pyrimidin-7-yl)-
phenyl]-benzenesulfonamide;
N-methyl-N-[3-(3-nitro-pyrazolo[1,5-a]pyrimidin-7-yl)-
phenyl]-methanesulfonamide;
N-(n-butyl)-N-[3-(3-nitro-pyrazolo[1,5-a]pyrimidin-7-
30 yl)-phenyl]-4-methoxy-benzenesulfonamide;
N-[3-(3-nitro-pyrazolo[1,5-a]pyrimidin-7-yl)-phenyl]-N-
(n-propyl)-4-methoxy-benzenesulfonamide;

N-methyl-N-[3-(3-nitro-pyrazolo[1,5-a]pyrimidin-7-yl)-phenyl]-4-methoxy-benzenesulfonamide;

N-(n-butyl)-N-[3-(3-nitro-pyrazolo[1,5-a]pyrimidin-7-yl)-phenyl]-4-benzenesulfonamide;

5 N-[3-(3-nitro-pyrazolo[1,5-a]pyrimidin-7-yl)-phenyl]-N-(n-propyl)-benzenesulfonamide;

N-methyl-N-[3-(3-nitro-pyrazolo[1,5-a]pyrimidin-7-yl)-phenyl]-4-benzenesulfonamide;

10 N-[3-(3-nitro-pyrazolo[1,5-a]pyrimidin-7-yl)-phenyl]-N-(n-propyl)-methanesulfonamide;

(*) N-(n-butyl)-N-[3-(3-nitro-pyrazolo[1,5-a]pyrimidin-7-yl)-phenyl]-methanesulfonamide;

N-[3-(3-nitro-pyrazolo[1,5-a]pyrimidin-7-yl)-phenyl]-N-(prop-2-ynyl)-methanesulfonamide;

15 N-[3-(3-nitro-pyrazolo[1,5-a]pyrimidin-7-yl)-phenyl]-N-(n-propyl)-ethanesulfonamide;

N-[3-(3-nitro-pyrazolo[1,5-a]pyrimidin-7-yl)-phenyl]-N-(n-ethyl)-ethanesulfonamide;

20 N-[3-(3-nitro-pyrazolo[1,5-a]pyrimidin-7-yl)-phenyl]-N-(n-prop-2-ynyl)-propane-2-sulfonamide;

(*) N-[3-(3-nitro-pyrazolo[1,5-a]pyrimidin-7-yl)-phenyl]-N-methyl-ethanesulfonamide;

N-[3-(3-nitro-pyrazolo[1,5-a]pyrimidin-7-yl)-phenyl]-N-(n-butyl)-ethanesulfonamide;

25 N-[3-(3-nitro-pyrazolo[1,5-a]pyrimidin-7-yl)-phenyl]-N-methyl-propane-2-sulfonamide;

N-[3-(3-nitro-pyrazolo[1,5-a]pyrimidin-7-yl)-phenyl]-N-ethyl-propane-2-sulfonamide;

N-[3-(3-nitro-pyrazolo[1,5-a]pyrimidin-7-yl)-phenyl]-N-(n-butyl)-propane-2-sulfonamide; and

30 N-[3-(3-nitro-pyrazolo[1,5-a]pyrimidin-7-yl)-phenyl]-N-(n-propyl)-propane-2-sulfonamide.

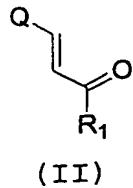
17) - A compound according to claims 10 and 11, wherein said compound is 1-[3-(3-nitro-pyrazolo[1,5-a]pyrimidin-7-yl)-phenyl]-pyrrolidin-2-one.

5 18) - A compound according to claims 12 and 13, wherein said compound is 7-(3-(2-isothiazolydiny-1,1-dioxide)-phenyl)-3-nitro-pyrazolo[1,5-a]pyrimidine.

10 19) - A compound according to claim 14, wherein said compound is selected from the group consisting of:

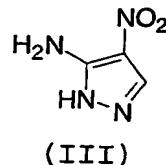
3-nitro-7-phenyl-pyrazolo[1,5-a]pyrimidine;
3-nitro-7-(2-trifluoromethyl-phenyl)-pyrazolo[1,5-a]pyrimidine;
3-nitro-7-(3-trifluoromethyl-phenyl)-pyrazolo[1,5-a]pyrimidine;
15 3-nitro-7-(4-trifluoromethyl-phenyl)-pyrazolo[1,5-a]pyrimidine;
7-furan-2-yl-3-nitro-pyrazolo[1,5-a]pyrimidine;
3-nitro-7-thiophen-2-yl-pyrazolo[1,5-a]pyrimidine;
20 3-nitro-7-pyridin-2-yl-pyrazolo[1,5-a]pyrimidine;
3-nitro-7-pyridin-3-yl-pyrazolo[1,5-a]pyrimidine; and
3-nitro-7-pyridin-4-yl-pyrazolo[1,5-a]pyrimidine;

25 20) - A process for preparing a compound of formula (I) or a pharmaceutically acceptable salt thereof, according to claim 1, comprising reacting intermediate (II):



wherein R₁ is as defined for (I) and Q is an appropriate leaving group selected from the group consisting of N(dialkyl(C₁-C₆)), alkylthio(C₁-C₆) and alkoxy(C₁-C₆), with 4-nitro-2H-pyrazol-3-ylamine (III):

5



and alternatively, treatment of the compounds of claim
10 1, in the form of free base, with an acid to form a salt
thereof.

15 21) - A process according to claim 20, comprising
utilizing the intermediate of formula (II) where Q is
selected from the group consisting of dimethylamino,
methylthio and methoxy.

20 22)- A method for treating or preventing diseases
associated with GABA_A receptor modulation in a mammal
which comprises administering to said mammal an
effective amount of a compound of claim 1.

25 23) A method for treating or preventing diseases
associated with α_1 -GABA_A receptor modulation in a mammal
which comprises administering to said mammal an
effective amount of a compound of claim 1.

30 24)- A method for treating or preventing diseases
associated with α_2 -GABA_A receptor modulation in a mammal
which comprises administering to said mammal an
effective amount of a compound of claim 1.

25) - A method for treating or preventing anxiety in a mammal which comprises administering to said mammal an effective amount of a compound of claim 1.

5

26) - A method for treating or preventing epilepsy in a mammal which comprises administering to said mammal an effective amount of a compound of claim 1.

10

27) - A method for treating or preventing sleep disorders in a mammal which comprises administering to said mammal an effective amount of a compound of claim 1.

15

28) - A method for treating or preventing insomnia in a mammal which comprises administering to said mammal an effective amount of a compound of claim 1.

20

29) - A method for inducing sedation-hypnosis in a mammal which comprises administering to said mammal an effective amount of a compound of claim 1.

25

30) - A method for inducing anesthesia in a mammal which comprises administering to said mammal an effective amount of a compound of claim 1.

30

31) - A method for modulating the necessary time to induce sleep and its duration in a mammal which comprises administering to said mammal an effective amount of a compound of claim 1.

32) - A method for inducing muscle relaxation in a mammal which comprises administering to said mammal an effective amount of a compound of claim 1.

5 33) - A composition comprising a compound of claim 1 in association with a therapeutically inert carrier.

10 34) - The use of a compound of claim 1 for preparing a medicament for treating or preventing diseases associated with GABA_A receptor modulation.

35) - The use of claim 33 wherein the diseases are associated with α_1 -GABA_A or α_2 -GABA_A receptor modulation.

15 36) - The use of a compound of claim 1 for preparing a medicament for treating or preventing anxiety, epilepsy, sleep disorders, insomnia, for inducing sedation-hypnosis, anesthesia or muscle relaxation or for modulating the necessary time to include sleep and its duration.

20